

L# ANSWER 126 OF 419 CAPLUS COPYRIGHT 2002 ACS

AN 1995:347116 CAPLUS

DN 122:105665

TI Process for the preparation of pyrrolidine derivatives by
palladium-catalyzed addition of amines to vinyl oxiranes.

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SO Eur. Pat. Appl., 9 pp.

CODEN: EPXXDW

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI EP 632030	A1	19950104	EP 1994-109699	19940623
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EP 632030	B1	20010926		
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R: BE, DE, FR, GB, NL

PRAI DE 1993-4321834 A 19930701

AB A process for the prepn. of nitrogen heterocyclic compds. (pyrrolidine derivs.) was disclosed. Treatment of (vinyl)oxiranes with ammonia or primary amines gave (amino)alkenols. Cyclization of the intermediate alcs. gave the title compds. The catalyst system consists of a group VIII element and optionally a Lewis acid. For example, heating a mixt. of vinyloxirane with methylamine in the presence of Pd(PPh₃)₄/LiI/ZnCl₂ gave 4-(methylamino)-2-buten-1-ol (37% yield) and 2-(methylamino)-3-buten-1-ol (52% yield). Cyclization of 4-(methylamino)-2-buten-1-ol gave 1-methylpyrrolidine (50% yield), N-methylpyrrole (15% yield), and N-methylpyrrolidone (1% yield).

IC ICM C07D295-02

ICS C07D207-26; C07D207-32

DT Patent

LA German